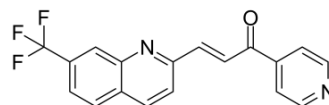


PFK-158

Cat. No.:	HY-12203		
CAS No.:	1462249-75-7		
Molecular Formula:	C ₁₈ H ₁₁ F ₃ N ₂ O		
Molecular Weight:	328.29		
Target:	Autophagy; Apoptosis		
Pathway:	Autophagy; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (91.38 mM)
 H₂O : < 0.1 mg/mL (insoluble)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		3.0461 mL	15.2304 mL	30.4609 mL
	5 mM		0.6092 mL	3.0461 mL	6.0922 mL
	10 mM		0.3046 mL	1.5230 mL	3.0461 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2 mg/mL (6.09 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2 mg/mL (6.09 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2 mg/mL (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PFK-158 is a potent and selective PFKFB3 inhibitor with an IC₅₀ value 137 nM. PFK-158 reduces glucose uptake, ATP production, lactate release, and induces apoptosis and autophagy in cancer cells. PFK-158 has broad anti-tumor activity. PFK-158 can also enhance Colistin's resistance to bacteria^{[1][2][3]}.

IC₅₀ & Target

IC₅₀ : 137 nM (PFKFB3)^{[1][3]}

In Vitro

PFK-158 (10 μ M ; 24 hours; OV2008 and C13 cells) combined with Carboplatin (CBPt; 77-453 μ M) results in significant increase in apoptosis in C13 (45%) and OV2008 cells (24.6%)^[1].

PFK-158 (0-10 μ M ; 24 hours; C13 and HeyA8MDR cells) treatment results in a dose-dependent decrease in p-PFKFB3, p-cPLA2 and lipid droplet (LD) levels^[1].

PFK-158 (10 μ M; 24 hours) has synergistic anti-proliferative effects in vitro when combined with Cisplatin in C13 and HeyA8MDR cells compared to OV2008 and HeyA8, respectively^[1].

PFK-158 (0-10 μ M; 24 h) treatment shows a dose-dependent downregulation of p62/SQSTM1 and upregulation of LC3BII, two markers of autophagy induction, in both C13 and HeyA8MDR cells. PFK-158 treatment also reduces the numbers of LDs^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis^[1]

Cell Line:	OV2008 and C13 cells
Concentration:	10 μ M
Incubation Time:	24 hours
Result:	Combined with Carboplatin (CBPt) treatment resulted in significant increase in apoptosis.

Western Blot Analysis^[1]

Cell Line:	C13 and HeyA8MDR cells
Concentration:	0 μ M, 5 μ M, 10 μ M
Incubation Time:	24 hours
Result:	Demonstrated a dose-dependent decrease in p-PFKFB3, p-cPLA2 and lipid droplet (LD) levels.

In Vivo

PFK-158 (15 mg/kg; intraperitoneal injection; once a week; for 4 weeks; female athymic nude mice) plus CBPt (51 mg/kg) treatment leads to significantly enhanced antitumor activity in a gynecologic cancer mouse model^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic nude mice (nu/nu) (5-6 weeks old) injected with HeyA8MDR cells ^[1]
Dosage:	15 mg/kg
Administration:	Intraperitoneal injection; once a week; for 4 weeks
Result:	A marked reduction of tumor growth was observed in the combination treatment.

CUSTOMER VALIDATION

- J Biol Chem. 2019 Jul 5;294(27):10530-10543.

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REFERENCES

- [1]. Mondal S, et al. Therapeutic targeting of PFKFB3 with a novel glycolytic inhibitor PFK158 promotes lipophagy and chemosensitivity in gynecologic cancers. Int J Cancer.

2019 Jan 1;144(1):178-189.

[2]. Zhang Y, et al. Synergistic Effect of Colistin Combined with PFK-158 against Colistin-Resistant Enterobacteriaceae. Antimicrob Agents Chemother. 2019 Jun 24;63(7). pii: e00271-19.

[3]. Pooran Chand, et al. Pfkfb3 inhibitor and methods of use as an anti-cancer therapeutic. WO2013148228A1.

Caution: Product has not been fully validated for medical applications. For research use only.

India Contact:

Life Technologies (India) Pvt. Ltd.

306, Aggarwal City Mall, Opposite M2K Pitampura, Delhi – 110034 (INDIA). Ph: +91-11-42208000, 42208111, 42208222, Mobile: +91-9810521400, Fax: +91-11-42208444
Email: customerservice@lifetechindia.com Website: www.lifetechindia.com